PATENT COOPERATION TREATY

PCT

INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY

(Chapter II of the Patent Cooperation Treaty)

(PCT Article 36 and Rule 70)

REC'D 12 JAN 2006
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Applicant's or agent's file reference ./.	FOR FURTHER ACTION See Form PCT/IPEA/416	
International application No. PCT/IB2004/051922	International filing date *(day/month/year)* 30.09.2004	Priority date *(day/month/year)* 08.10.2003
International Patent Classification (IPC) or national classification and IPC A61K31/4035, C07D209/46, A61P7/02, C07D401/06, C07D413/04		
Applicant NICHOLAS PIRAMAL INDIA LIMITED et al.		

1. This report is the international preliminary examination report, established by this International Preliminary Examining Authority under Article 35 and transmitted to the applicant according to Article 36.
2. This REPORT consists of a total of 8 sheets, including this cover sheet.
3. This report is also accompanied by ANNEXES, comprising:
   a. ☒ *sent to the applicant and to the International Bureau)* a total of 22 sheets, as follows:
      ☐ sheets of the description, claims and/or drawings which have been amended and are the basis of this report and/or sheets containing rectifications authorized by this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions).
      ☒ sheets which supersede earlier sheets, but which this Authority considers contain an amendment that goes beyond the disclosure in the international application as filed, as indicated in item 4 of Box No. I and the Supplemental Box.
   b. ☐ *(sent to the International Bureau only)* a total of (indicate type and number of electronic carrier(s)) , containing a sequence listing and/or tables related thereto, in computer readable form only, as indicated in the Supplemental Box Relating to Sequence Listing (see Section 802 of the Administrative Instructions).

4. This report contains indications relating to the following items:

☒	Box No. I	Basis of the opinion
☐	Box No. II	Priority
☒	Box No. III	Non-establishment of opinion with regard to novelty, inventive step and industrial applicability
☒	Box No. IV	Lack of unity of invention
☒	Box No. V	Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement
☐	Box No. VI	Certain documents cited
☐	Box No. VII	Certain defects in the international application
☐	Box No. VIII	Certain observations on the international application

Date of submission of the demand	Date of completion of this report
06.05.2005	10.01.2006
Name and mailing address of the international preliminary examining authority: European Patent Office D-80298 Munich Tel. +49 89 2399 - 0 Tx: 523656 epmu d Fax: +49 89 2399 - 4465	Authorized Officer Seymour, L Telephone No. +49 89 2399-8694

INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY

International application No.
PCT/IB2004/051922

Box No. I Basis of the report

1. With regard to the **language**, this report is based on the international application in the language in which it was filed, unless otherwise indicated under this item.

   ☐ This report is based on translations from the original language into the following language , which is the language of a translation furnished for the purposes of:
   - ☐ international search (under Rules 12.3 and 23.1(b))
   - ☐ publication of the international application (under Rule 12.4)
   - ☐ international preliminary examination (under Rules 55.2 and/or 55.3)

2. With regard to the **elements*** of the international application, this report is based on *(replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report)*:

Description, Pages	
1-142	as originally filed
Claims, Numbers	
1-20	received on 04.10.2005 with letter of 29.09.2005
Drawings, Sheets	
1/10-10/10	as originally filed

   ☐ a sequence listing and/or any related table(s) - see Supplemental Box Relating to Sequence Listing

3. ☐ The amendments have resulted in the cancellation of:
   - ☐ the description, pages
   - ☐ the claims, Nos.
   - ☐ the drawings, sheets/figs
   - ☐ the sequence listing *(specify)*:
   - ☐ any table(s) related to sequence listing *(specify)*:

4. ☒ This report has been established as if (some of) the amendments annexed to this report and listed below had not been made, since they have been considered to go beyond the disclosure as filed, as indicated in the Supplemental Box (Rule 70.2(c)).
   - ☐ the description, pages
   - ☒ the claims, Nos. 1-20 (see separate sheet)
   - ☐ the drawings, sheets/figs
   - ☐ the sequence listing *(specify)*:
   - ☐ any table(s) related to sequence listing *(specify)*:

* *If item 4 applies, some or all of these sheets may be marked "superseded."*

Box No. III Non-establishment of opinion with regard to novelty, inventive step and industrial applicability

1. The questions whether the claimed invention appears to be novel, to involve an inventive step (to be non-obvious), or to be industrially applicable have not been examined in respect of:

☐ the entire international application,

☒ claims Nos. 21, 22; all claims with respect to prodrugs; 1,2,4-6 and claims referring thereto (part not comprised in claim 3)

because:

☐ the said international application, or the said claims Nos. relate to the following subject matter which does not require an international preliminary examination (specify):

☐ the description, claims or drawings *(indicate particular elements below)* or said claims Nos. are so unclear that no meaningful opinion could be formed *(specify)*:

☐ the claims, or said claims Nos. are so inadequately supported by the description that no meaningful opinion could be formed.

☒ no international search report has been established for the said claims Nos. as above

☐ the nucleotide and/or amino acid sequence listing does not comply with the standard provided for in Annex C of the Administrative Instructions in that:

the written form	☐	has not been furnished
	☐	does not comply with the standard
the computer readable form	☐	has not been furnished
	☐	does not comply with the standard

☐ the tables related to the nucleotide and/or amino acid sequence listing, if in computer readable form only, do not comply with the technical requirements provided for in Annex C-*bis* of the Administrative Instructions.

☒ See separate sheet for further details

Box No. IV Lack of unity of invention

1. ☒ In response to the invitation to restrict or pay additional fees, the applicant has:
   - ☐ restricted the claims.
   - ☐ paid additional fees.
   - ☐ paid additional fees under protest.
   - ☒ neither restricted nor paid additional fees.

2. ☐ This Authority found that the requirement of unity of invention is not complied with and chose, according to Rule 68.1, not to invite the applicant to restrict or pay additional fees.

3. This Authority considers that the requirement of unity of invention in accordance with Rules 13.1, 13.2 and 13.3 is

   ☐ complied with.

   ☒ not complied with for the following reasons:

   see separate sheet

4. Consequently, this report has been established in respect of the following parts of the international application:

   ☐ all parts.

   ☒ the parts relating to claims Nos. 1-20 .

Box No. V Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

1. Statement

Novelty (N)	Yes:	Claims	3,5-9
	No:	Claims	1,2,4,10-20
Inventive step (IS)	Yes:	Claims	
	No:	Claims	1-20
Industrial applicability (IA)	Yes:	Claims	1-20
	No:	Claims	

2. Citations and explanations (Rule 70.7):

   see separate sheet

Re Item I

The amendments filed with the letter dated 29.09.2005 introduce subject-matter which extends beyond the content of the application as filed, contrary to Article 34(2)(b) PCT. The amendments concerned are the following:

In newly filed claim 1 the central ring has been restricted to the 1-(thi)oxo-1,3-dihydroisoindol-2-yl moiety. This is based on originally filed claim 3. However, in this claim, the variable *s* is defined as being 1, whereas in newly filed claim 1, *s* is defined as being 1 or 2. Similarly, the meaning of the substituents at R^G is broader than that defined in originally filed claim 3 (-SC(=O)H and -SC(=O)OR^{21} have been added). Newly filed claim 1 therefore amounts to a generalisation of the preferred subgroup defined in originally filed claim 3, which cannot objectively be derived from the application as filed. In addition, newly filed claim 19 refers to a compound of formula VII in claim 8. However, in the latter R^G is a "phenyl, having at least one substituent which is OCH_2Phenyl", which is not a feature present in formula VII of claim 19. Similarly, in formula III of claim 8, R^G must have at least one substituent of formula (5). This feature is not to be found in the corresponding intermediate III' in claim 20.

Consequently, this examination is being performed on the claims as originally filed.

Re Item III

1. The initial phase of the search revealed a very large number of documents relevant to the issue of novelty of claims 1 and 2 (for examples, see search report). So many documents were retrieved that it is impossible to determine which parts of the claims may be said to define subject-matter for which protection might legitimately be sought (Article 6 PCT). In addition, present claim 1 relates to an extremely large number of possible compounds. Support within the meaning of Article 6 PCT and/or disclosure within the meaning of Article 5 PCT is to be found, however, for only a very small proportion of the compounds claimed.

   For these reasons, a meaningful search over the whole breadth of the claims is impossible. Consequently, the search has been carried out for compounds

according to claim 3, i.e. where there is at least one substituent of formula (5) at R^G.

2. The present claims do not fulfil the requirements of Articles 5 and 6 PCT to such an extent as to render a meaningful search impossible. It is unclear which technical features are necessary to perform the functional term "prodrug" and thus which specific compounds fall within the scope of the present claims. Moreover, this functional definition is a mere invitation to the skilled person to perform a research program in order to find the suitable variants (cf. definition in description p. 15). The invention cannot be carried out over the whole claimed area without imposing an undue burden on the skilled person, and the disclosure is thus considered to be insufficient. Consequently, the search did not include prodrugs of the compounds of formula I.

Re Item IV

This Authority found multiple inventions in this international application, as follows:

1. Claims 1-20
   Compounds of formula I and corresponding syntheses, compositions and uses thereof

2. Claim 21
   Alternative process for introducing a keto substituent at the *ortho* position of phenols.

3. Claims 22
   Alternative process for introducing a keto substituent at the *para* position of phenols.

The problem underlying the first group lies in the provision of further fibrinogen receptor antagonists (see present description, p. 1, lines 5 - 9), whereas the problems underlying groups 2 and 3 lies in the provision of alternative syntheses of keto-substituted phenols. Two different problems are thus addressed that are not so linked to form a single general inventive concept (Rule 13.1 PCT).

The only feature common to the processes of groups 2 and 3 is that keto-

substituted phenols are produced in both cases. Since such compounds are well known in the art (see e.g. WO-A-02 085855, scheme CO-1), it follows that this feature cannot be considered as being a special technical feature within the meaning of Rule 13.2 PCT. Groups 2 and 3 are therefore also not linked by a single general inventive concept (Rule 13.1 PCT).

Re Item V

1. Reference is made to the following documents:
   D1: EP-A-0 655 439
   D2: WO-A-02 085855 (family member, P-document: EP-A-1 391 451)
   D3: EP-A-0 540 334 D4: US-A-3 997 572
   D5: DD-A-66 175 D6: GB-A-989 917
   D7: J. Med. Chem., vol. 29, no. 8, 1986, pages 1476-1482
   D8: J. Med. Chem., vol. 35, no. 24, 1992, pages 4542-4548

2. The present application does not meet the criteria of Article 33(1) PCT, because the subject-matter of claims 1, 2, 4 and 10-20 is not new in the sense of Article 33(2) PCT:

   Documents D2 discloses numerous imino-isoindole derivatives falling within the scope of present claims 1, 2 and 4 (for claim 4 see numerous compounds e.g. example 546 containing a phenoxy acetic acid moiety or homologues thereof; cf. present formula (5)). The compounds of present claim 3, 5 and 6 differ from those of D2 because Y^1/Y^2 are =O/S.

   The compounds of D3 wherein X is a cyclic moiety are considered to fall within the scope of claims 1 and 2 owing to the passage in the present description (p. 13, lines 4-8) that alkyl groups, unless stated otherwise, may be optionally substituted. Thus, many of the compounds in claim 4 of D3 fall within the scope of present claims 1 and 2 (cf. present R^A is $-C(=O)-NR^1R^2$ wherein R^1 is a substituted alkyl). The compounds of D3 are fibrinogen receptor antagonists (see claim 13).

   Documents D4 - D8 disclose a number of pharmaceutically active compounds falling within the scope of present claims 1 and 2 (see references in search report).

3. The present application does not meet the criteria of Article 33(1) PCT, because the subject-matter of the present claims does not involve an inventive step in the sense of Article 33(3) PCT.

Document D1, which is regarded as being the closest prior art, discloses fibrinogen receptor antagonists (p. 1, lines 23-26). Formula I of D1 overlaps with present formula I. D1 teaches the presence of a 5,6-bicyclic scaffold whereby the 5-membered ring is attached to an acidic group via an optional linker and the 6-membered ring is attached to a basic group via an optional linker. The present exemplified 1-oxo-1,3-dihydroisoindol-2-yl moiety is specifically suggested in D1 (see p. 17, line 45). It would therefore have been obvious for the person skilled in the art, faced with the problem of providing further fibrinogen receptor antagonists, to further modify the exemplified compounds of D1 according to the above teaching in order to arrive at the present compounds.

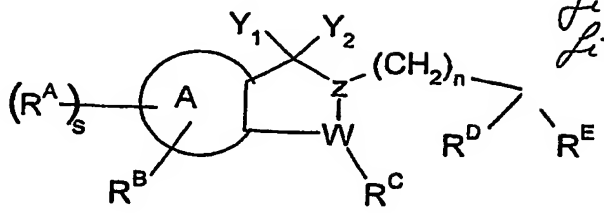
An inventive step cannot therefore be acknowledged, in the absence of evidence showing that substantially all the claimed compounds have an unexpected property or improved activity with respect to the structurally closest prior art compounds of D1, attributable to the distinguishing feature of the invention.

Enclosure 1

New claims 1 to 20 to replace the existing claims on file (claims 1-20 as filed, claims 23 and 24 filed on 6 May 2005.

Claims

1. A compound of the general formula (I):



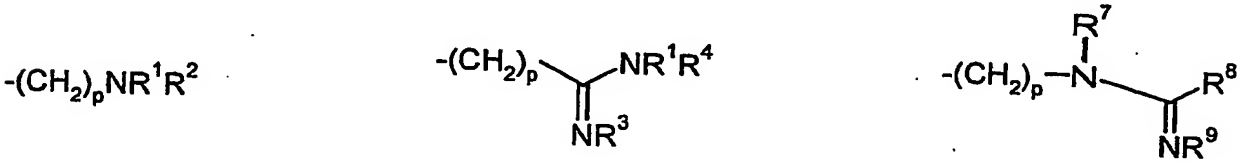
(I)

wherein

ring A is phenyl;

R^A is selected from: -(CH_2)pCN, -C(=NR^1)-SMe and -C(=NR^1)-OMe , or

R^A is selected from one of the following groups of formula (2), formula (3) and formula (4):



(2) (3) (4)

wherein p is 0, 1 or 2;

s is 1 or 2, and when s is 2 the groups R^A are independent of each other and can be the same or different;

R^1 and R^2 are independently selected from: H, hydroxy, alkyl, partially or fully fluorinated alkyl, alkoxy, alkenyl, alkynyl, carboxy, -C(=O)OR^5, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl and heterocycle; or R^1 and R^2 , together with the nitrogen atom to which they are attached, form a saturated, partially saturated or aromatic heterocycle, optionally containing at least one additional hetero atom selected from: N, O and S;

R^3 and R^4 are independently selected from: H, alkyl, partially or fully fluorinated alkyl, alkenyl, alkynyl, -C(=O)OR^5, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, heterocycle , -OR^5, -SR^5, -NR^5R^6, -S(=O)$_2NR^5R^6$, -S(=O)$_2R^5$, -C(=O)R^5, -C(=O)NR^5R^6, -C(=O)OR^5, -C(=O)SR^5, -OC(=O)R^5, -OC(=O)OR^5, -OC(=O)NR^5R^6, -OS(=O)$_2R^5$, -S(C=O)NR^5 and -OS(=O)$_2NR^5R^6$, or R^3 and R^1 or R^4, together with the respective nitrogen atoms to which they are attached, form an unsubstituted or substituted 5-, 6- or 7- membered partially saturated or aromatic heterocycle, optionally having one or more additional heteroatoms selected from: N, O and S, wherein the substituents are selected from: hydroxy, halogen, alkyl, alkoxy, alkenyl, alkynyl, oxo, carboxy and -C(=O)OR^5;

R^5 and R^6 are independently selected from: H, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl and heterocycle, wherein each of said alkyl, alkenyl, alkynyl,

cycloalkyl and cycloalkylalkyl group optionally contains at least one hetero atom selected from: N, S and O anywhere in the chain, including the terminal position;

R^7 and R^9 have the same meaning as R^3 and R^4, defined above;

R^8 is selected from: H, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl and heterocycle, wherein said heterocycle is saturated, partially saturated or aromatic and contains at least one hetero atom selected from: N, O and S, with its point of attachment either through C or N, and wherein each of said alkyl, alkenyl, alkynyl, cycloalkyl and cycloalkylalkyl groups optionally contains at least one hetero atom selected from: N, O and S, anywhere in the chain, including the terminal position;

R^B is selected from: H, halogen, -CN, $-NO_2$, alkyl, partially or fully fluorinated alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, heterocycle, $-NR^{10}R^{11}$, $-OR^{10}$, $-SR^{10}$, $S(O)R^{10}$, $S(O)_2R^{10}$, $-NHC(=O)R^{10}$, $-NHOR^{10}$, $-OC(=O)R^{10}$, $-SC(=O)R^{10}$, $-NHC(=O)OR^{10}$, $-OC(=O)OR^{10}$, $-C(=O)NR^{10}R^{11}$, $-C(=O)R^{10}$, and $-C(=O)OR^{10}$;

R^{10} and R^{11} have the same meaning as R^5 and R^6, defined above

Y^1 and Y^2, together, are selected from: =O and =S;

R^{12} and R^{13} are selected from: H, OR^5, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl and aryl;

Z is N;

W is CH_2;

R^C is selected from: H, alkyl, aryl, heterocycle, =O, $=NR^{14}$, =S, CN, $NR^{14}R^{15}$, OR^{14}, SR^{14}, $S(=O)_2R^{16}$ and COR^{16};

R^{14} and R^{15} have the same meaning as R^5 and R^6, defined above;

R^{16} is selected from: H, OR^{14}, $N(R^{14})_2$, $NR^{14}R^{15}$, SR^{14} and R^5, wherein R^5, R^{14} and R^{15} are as defined above;

n is 0, 1, 2 or 3;

R^D and R^E are independently selected from: H and an unsubstituted or substituted group selected from: alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl and heterocycle, wherein the substituents are selected from: hydroxy, halogen, alkyl, alkenyl, alkynyl, oxo, carboxy, $-C(=O)OR^5$, $-OR^{17}$, $-SR^{17}$, $-NR^{17}R^{18}$, $-NHC(=O)R^{17}$, $-NHC(=O)OR^{17}$, $-OC(=O)R^{17}$, $-SC(=O)R^{17}$, $-OS(=O)_2R^{17}$ and $-NHS(=O)_2R^{17}$;

R^{17} and R^{18} have the same meaning as R^5 and R^6, defined above;

R^F is selected from: O, S and $N(OR^{19})$;

R^{19} and R^{20} have the same meaning as R^5 and R^6, defined above;

R^G is selected from: aryl, heteroaryl, and partially or fully saturated heterocycle, where said aryl, heteroaryl and heterocycle are substituted by one or more groups of the formula (5):

$$T\text{-}(CH_2)_q\text{-}CR^{23}R^{24}\text{-}COR^{25} \qquad (5)$$

and optionally, further substituted by one or more groups selected from: $-R^5$, halogen, -CN, -SCN, -CNO, $-OR^{21}$, $-OC(=O)R^{21}$, $-OS(=O)_2R^{21}$, $-OS(=O)_2NR^{21}R^{22}$, $-OC(=O)OR^{21}$, $-OC(=O)SR^{21}$, $-OC(=O)NR^{21}R^{22}$, $-SR^{21}$, $-S(=O)R^{21}$, $-SC(=O)H$, $-SC(=O)OR^{21}$, $-NO_2$, $-NR^{21}(OR^{22})$, $-NR^{21}R^{22}$, $-NR^{21}C(=O)R^{22}$, $-N(R^{21})C(=O)OR^{22}$, $-N[S(=O)_2R^{21}]R^{23}$, $C(=O)OR^{21}$, $-S(=O)_2R^{21}$ and $-S(=O)_2OR^{21}$;

R^{21} and R^{22} have the same meaning as R^1 and R^2, defined above:

T is selected from: $-CH_2$, O, S and NH;

q is 0, 1, 2 or 3;

R^{23} and R^{24} are independently selected from: H, alkyl alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, heterocycle and $C(=O)R^{25}$, wherein said alkyl and alkenyl optionally contain at least one hetero atom selected from: O, S and N, in any position of the alkyl or alkenyl chain, and said alkyl and alkenyl are unsubstituted or substituted with at least one group selected from: $-OR^1$, $-OC(=O)R^1$, $-OS(=O)_2R^1$, $-S(=O)_2NR^1R^2$, $-OC(=O)OR^1$, $-OC(=O)SR^1$, $-OC(=O)NR^1R^2$, $-SR^1$, $-S(=O)R^1$, $-SC(=O)H$, $-SC(=O)OR^1$, $-NR^1(OR^2)$, $-NR^1R^2$, $-NR^1C(=O)R^2$, $-N(R^1)C(=O)OR^2$, $-NR^1S(=O)_2R^2$, $C(=O)OR^1$, $-S(=O)_2R^1$ and $-S(=O)_2OR^1$;

R^{25} is selected from: OR^5, SR^5, $-OCR^3R^4$ and $-NR^5R^6$, wherein R^3, R^4, R^5 and R^6 are as defined above and wherein optionally, R^3 and R^4, together with the carbon to which they are attached, form an unsubstituted or substituted 5-, 6- or 7- membered saturated, partially saturated or aromatic heterocycle having one or more heteroatoms selected from: N, O and S, wherein the substituents are selected from: hydroxy, halogen, alkyl, alkoxy, alkenyl, alkynyl, oxo, carboxy and $-C(=O)OR^5$; and the group NR^5R^6 is, optionally, a heterocycle containing at least one additional heteroatom selected from: O, S, and N;

in all its stereoisomeric and tautomeric forms and mixtures thereof in all ratios, and its pharmaceutically acceptable salts and pharmaceutically acceptable solvates.

2. A compound according to claim 1, wherein

R^G is selected from: phenyl, piperidinyl and piperazinyl.

3. A compound according to any one of claim 1 or claim 2, wherein

R^A is a group of the formula (3);

R_1 is hydrogen;

R_3 and R_4 are independently selected from: H, OH, -C(O)OH and –C(O)Oalkyl;

$R^B = R^C = R^D = R^E$ = hydrogen;

Y^1 and Y^2, together are =O;

n is the integer 0 or 1;

R^G is phenyl, substituted with one or more of the group -T-(CH_2)q-CH_2-C(O)R^{25} and, optionally, further substituted with one or more of the groups selected from: hydroxy, halogen, alkyl, alkoxy, alkenyl, alkynyl, oxo, carboxy, -C(=O)OR^5, SR^{21}, $S(=O)_2R^{21}$and -$N(R^{21})$-C(O)CH_3, -CH_2C(O)R^{25};

R^{25} is selected from: OR^5, OCR^3R^4 and NR^5R^6, wherein R^3 and R^4, together with the carbon to which they are attached form an unsubstituted or substituted 5-, 6- or 7- membered saturated, partially saturated or aromatic heterocycle having one or more heteroatoms selected from: N, O and S, wherein the substituents are selected from: hydroxy, halogen, alkyl, alkoxy, alkenyl, alkynyl, oxo, carboxy, -C(=O)OR^5 ; and

R^5, R^6 and R^{21} are independently selected from: H, alkyl and phenyl.

4. A compound according to any one of claim 1 or claim 2, wherein

R^A is a group of the formula (3);

R_1 is hydrogen;

R_3 and R_4 are independently selected from: H, OH, -C(O)OH and –C(O)Oalkyl;

$R^B = R^C = R^D = R^E$ = hydrogen;

Y^1 and Y^2, together are =O;

n is the integer 0 or 1;

R^G is selected from: piperidinyl and piperazinyl, wherein said piperidinyl and piperazinyl are substituted with one or more of the group -T-(CH_2)q-CH_2-C(O)R^{25} and, optionally, further substituted with one or more groups selected from: hydroxy, halogen, alkyl, alkoxy, alkenyl, alkynyl, oxo, carboxy and -C(=O)OR^5;

and

R^{25} is OR^5, wherein R^5 is selected from: H, alkyl and phenyl.

5. A compound according to claim 1 or claim 2 selected from:

(4- {2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid methyl ester;

(4-{2-[5-(N-Hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid methyl ester;

(4-{2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;

(4-{2-[5-(N-Hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;

4-(2-{5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl}-acetyl]-phenoxy)-acetic acid isopropyl ester;

(4-{2-[5-(Imino-methoxycarbonylamino-methyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid isopropyl ester;

(4-{2-[5-(Imino-isobutoxycarbonylamino-methyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid isopropyl ester;

(4-{2-[5-(Benzyloxycarbonylamino-imino-methyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid isopropyl ester;

(4-{2-[5-(N-Hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid isopropyl ester;

(4-{2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid isobutyl ester;

(4-{2-[5-(Imino-methoxycarbonylamino-methyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid isobutyl ester;

(4-{2-[5-(Imino-isobutoxycarbonylamino-methyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid isobutyl ester;

(4-{2-[5-(Benzyloxycarbonylamino-imino-methyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid isobutyl ester;

(4-{2-[5-(Imino-methanesulfonylamino-methyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid isobutyl ester;

(4-{2-[5-(N-Hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid isobutyl ester;

(4-{2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid benzyl ester;

(4-{2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid;

(4-{2-[5-(Imino-methoxycarbonylamino-methyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid benzyl ester;

(4-{2-[5-(Imino-isobutoxycarbonylamino-methyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid benzyl ester;

(4-{2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-2-ethoxy carbonyl methoxy-phenoxy)-acetic acid ethyl ester;

(2-Ethoxycarbonylmethoxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}–phenoxy)-acetic acid ethyl ester;

(2-Ethoxycarbonylmethoxy-4-{2-[5-(imino-{3-methyl-butyrylamino}-methyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}–phenoxy)-acetic acid ethyl ester;

(2-Ethoxycarbonylmethoxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-1-hydroxyimino-ethyl}–phenoxy)-acetic acid ethyl ester;

(4-{2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}–2-isobutoxy carbonyl methoxy-phenoxy)-acetic acid isobutyl ester;

2-(4-{2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-NN-diethyl-acetamide;

4-(2-{4-[2-(5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl)-acetyl]-phenoxy}-acetoxy)-piperidine-1-carboxylic acid benzyl ester;

4-Benzyloxycarbonylamino-2-(4-{2-[5-carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-butyric acid ethyl ester;

4-Benzyloxycarbonylamino-2-(4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-butyric acid ethyl ester;

(4-{2-[5-(N-Hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenylsulfanyl)-acetic acid methyl ester;

(4-{2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-2-chloro-phenoxy)-acetic acid ethyl ester;

(2-Chloro-4-{2-[5-(imino-isobutoxycarbonylamino-methyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;

(2-Chloro-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;

(4-{2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-2-ethyl sulfanyl-phenoxy)-acetic acid ethyl ester;

(2-Ethylsulfanyl-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;

(4-{2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-2-ethane sulfonyl-phenoxy)-acetic acid ethyl ester;

(2-Ethanesulfonyl-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;

(2,6-Bis-ethylsulfanyl-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;

(2-Acetylamino-4-{2-[5-N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;

(2-(Ethoxycarbonylmethyl-methanesulfonyl-amino)-4-{2-[5-(imino-isobutoxy

carbonylamino-methyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;

(2-(Ethoxycarbonylmethyl-methanesulfonyl-amino)-4-{2-[5-(N-hydroxy carbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;

(4-{2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-3-hydroxy-phenoxy)-acetic acid ethyl ester;

(3-Hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;

(3-Hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid benzyl ester;

(4-{2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-3-hydroxy-phenoxy)-acetic acid;

(4-{2-[5-(N-Hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-3-methoxy-phenoxy)-acetic acid ethyl ester;

(4-{2-[5-(N-Hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-3-propoxy-phenoxy)-acetic acid ethyl ester;

(4-{2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-3-ethoxy carbonylmethoxy-phenoxy)-acetic acid ethyl ester;

(3-Ethoxycarbonylmethoxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid;

(2-Ethylsulfanyl-3-hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;

(2-Ethyl-5-hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;

(5-Hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-2-isopropyl-phenoxy)-acetic acid ethyl ester;

(2-*tert*-Butyl-5-hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;

(2-Chloro-5-hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;

(2-Chloro-3-hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;

(3-Hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-2-methyl-phenoxy)-acetic acid ethyl ester;

(3-Hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-2-

methyl-phenoxy)-acetic acid benzyl ester;
(2-Ethyl-3-hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;
(3-Hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-2-propyl-phenoxy)-acetic acid ethyl ester;
(3-Hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-2-propyl-phenoxy)-acetic acid benzyl ester;
(4-{2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-3-hydroxy-2-propyl-phenoxy)-acetic acid;
(4-Hydroxy-3-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;
(3-Hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-5-methoxy-phenoxy)-acetic acid ethyl ester;
(3,5-Dihydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;
(2-Ethoxycarbonylmethoxy-3-hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-aceic acid ethyl ester;
(2-Ethoxycarbonylmethoxy-5-hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;
(4-{2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-piperazine-1-yl)-acetic acid ethyl ester;
(1-{2S-[5-(N-Hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-3-(4-hydroxy-phenyl)-propionyl}-piperidin-4-yloxy)-acetic acid ethyl ester;
(1-{2-[5-(N-Hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-piperidin-4-yloxy)-acetic acid ethyl ester;
(1-{3-[5-(N-Hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-propionyl}-piperidin-4-yloxy)-acetic acid ethyl ester;
(1-{2-[5-(5-Methyl-isoxazol-3-yl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-piperidin-4-yloxy)-acetic acid ethyl ester;
(1-{2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-piperidin-4-yloxy)-acetic acid ethyl ester;
(1-{2-[5-(*tert*-Butoxycarbonylamino-imino-methyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-piperidin-4-yloxy)-acetic acid ethyl ester;
(1-{2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-piperidin-4-yloxy)-acetic acid;

(4-{2-[5-Acetimidoylamino-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-3-hydroxy-phenoxy)-acetic acid ethyl ester;

(3-Ethoxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;

(4-[2-(5-carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl)-acetyl]-3-ethoxy-phenoxy}-acetic acid ethyl ester;

(4-{2-[5-Carbamimdoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-3-ethoxy-phenoxy)-acetic acid;

(3-Hydroxy-4-{2-[1-oxo-5-(5-oxo-2,5-dihydro-[1,2,4]oxadiazol-3-yl)-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;

(4-{2-[5-(Acetylamino-imino-methyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-3-hydroxy-phenoxy)-acetic acid ethyl ester;

(3-Acetoxy-4-{2-[5-(5-methyl-[1,2,4]oxadiazol-3-yl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;

(4-{2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-3-hydroxy-2-propyl-phenoxy)-acetic acid ethyl ester;

(3-Hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-2-propyl-phenoxy)-acetic acid; and

(3-Allyloxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester.

6. A compound according to claim 3 selected from:

(4-{2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid methyl ester;

(4-{2-[5-(N-Hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid methyl ester;

(4-{2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;

(4-{2-[5-(N-Hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;

4-(2-{5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl}-acetyl]-phenoxy)-acetic acid isopropyl ester;

(4-{2-[5-(Imino-methoxycarbonylamino-methyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid isopropyl ester;

(4-{2-[5-(Imino-isobutoxycarbonylamino-methyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-

phenoxy)-acetic acid isopropyl ester;
(4-{2-[5-(Benzyloxycarbonylamino-imino-methyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid isopropyl ester;
(4-{2-[5-(N-Hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid isopropyl ester;
(4-{2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid isobutyl ester;
(4-{2-[5-(Imino-methoxycarbonylamino-methyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid isobutyl ester;
(4-{2-[5-(Imino-isobutoxycarbonylamino-methyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid isobutyl ester;
(4-{2-[5-(Benzyloxycarbonylamino-imino-methyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid isobutyl ester;
(4-{2-[5-(Imino-methanesulfonylamino-methyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid isobutyl ester;
(4-{2-[5-(N-Hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid isobutyl ester;
(4-{2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid benzyl ester;
(4-{2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid;
(4-{2-[5-(Imino-methoxycarbonylamino-methyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid benzyl ester;
(4-{2-[5-(Imino-isobutoxycarbonylamino-methyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid benzyl ester;
(4-{2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-2-ethoxy carbonyl methoxy-phenoxy)-acetic acid ethyl ester;
(2-Ethoxycarbonylmethoxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}–phenoxy)-acetic acid ethyl ester;
(2-Ethoxycarbonylmethoxy-4-{2-[5-(imino-{3-methyl-butyrylamino}-methyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}–phenoxy)-acetic acid ethyl ester;
(2-Ethoxycarbonylmethoxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-1-hydroxyimino-ethyl}–phenoxy)-acetic acid ethyl ester;
(4-{2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}–2-isobutoxy carbonyl methoxy-phenoxy)-acetic acid isobutyl ester;

2-(4-{2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-NN-diethyl-acetamide;

4-(2-{4-[2-(5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl)-acetyl]-phenoxy}-acetoxy)-piperidine-1-carboxylic acid benzyl ester;

4-Benzyloxycarbonylamino-2-(4-{2-[5-carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-butyric acid ethyl ester;

4-Benzyloxycarbonylamino-2-(4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-butyric acid ethyl ester;

(4-{2-[5-(N-Hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenylsulfanyl)-acetic acid methyl ester;

(4-{2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-2-chloro-phenoxy)-acetic acid ethyl ester;

(2-Chloro-4-{2-[5-(imino-isobutoxycarbonylamino-methyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;

(2-Chloro-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;

(4-{2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-2-ethyl sulfanyl-phenoxy)-acetic acid ethyl ester;

(2-Ethylsulfanyl-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;

(4-{2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-2-ethane sulfonyl-phenoxy)-acetic acid ethyl ester;

(2-Ethanesulfonyl-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;

(2,6-Bis-ethylsulfanyl-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;

(2-Acetylamino-4-{2-[5-N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;

(2-(Ethoxycarbonylmethyl-methanesulfonyl-amino)-4-{2-[5-(imino-isobutoxy carbonylamino-methyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;

(2-(Ethoxycarbonylmethyl-methanesulfonyl-amino)-4-{2-[5-(N-hydroxy carbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;

(4-{2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-3-hydroxy-phenoxy)-acetic acid ethyl ester;

(3-Hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;

(3-Hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid benzyl ester;

(4-{2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-3-hydroxy-phenoxy)-acetic acid;

(4-{2-[5-(N-Hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-3-methoxy-phenoxy)-acetic acid ethyl ester;

(4-{2-[5-(N-Hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-3-propoxy-phenoxy)-acetic acid ethyl ester;

(4-{2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-3-ethoxy carbonylmethoxy-phenoxy)-acetic acid ethyl ester;

(3-Ethoxycarbonylmethoxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid;

(2-Ethylsulfanyl-3-hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;

(2-Ethyl-5-hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;

(5-Hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-2-isopropyl-phenoxy)-acetic acid ethyl ester;

(2-*tert*-Butyl-5-hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;

(2-Chloro-5-hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;

(2-Chloro-3-hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;

(3-Hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-2-methyl-phenoxy)-acetic acid ethyl ester;

(3-Hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-2-methyl-phenoxy)-acetic acid benzyl ester;

(2-Ethyl-3-hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;

(3-Hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-2-propyl-phenoxy)-acetic acid ethyl ester;

(3-Hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-2-

propyl-phenoxy)-acetic acid benzyl ester;
(4-{2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-3-hydroxy-2-propyl-phenoxy)-acetic acid;
(4-Hydroxy-3-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;
(3-Hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-5-methoxy-phenoxy)-acetic acid ethyl ester;
(3,5-Dihydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;
(2-Ethoxycarbonylmethoxy-3-hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-aceic acid ethyl ester;
(2-Ethoxycarbonylmethoxy-5-hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;
(4-{2-[5-Acetimidoylamino-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-3-hydroxy-phenoxy)-acetic acid ethyl ester;
(3-Ethoxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;
(4-[2-(5-carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl)-acetyl]-3-ethoxy-phenoxy}-acetic acid ethyl ester;
(4-{2-[5-Carbamimdoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-3-ethoxy-phenoxy)-acetic acid;
(3-Hydroxy-4-{2-[1-oxo-5-(5-oxo-2,5-dihydro-[1,2,4]oxadiazol-3-yl)-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;
(4-{2-[5-(Acetylamino-imino-methyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-3-hydroxy-phenoxy)-acetic acid ethyl ester;
(3-Acetoxy-4-{2-[5-(5-methyl-[1,2,4]oxadiazol-3-yl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;
(4-{2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-3-hydroxy-2-propyl-phenoxy)-acetic acid ethyl ester;
(3-Hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-2-propyl-phenoxy)-acetic acid; and
(3-Allyloxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester.

7. A compound according to claim 4 selected from:

(4-{2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-piperazine-1-yl)-acetic acid ethyl ester;

(1-{2S-[5-(N-Hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-3-(4-hydroxy-phenyl)-propionyl}-piperidin-4-yloxy)-acetic acid ethyl ester;

(1-{2-[5-(N-Hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-piperidin-4-yloxy)-acetic acid ethyl ester;

(1-{3-[5-(N-Hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-propionyl}-piperidin-4-yloxy)-acetic acid ethyl ester;

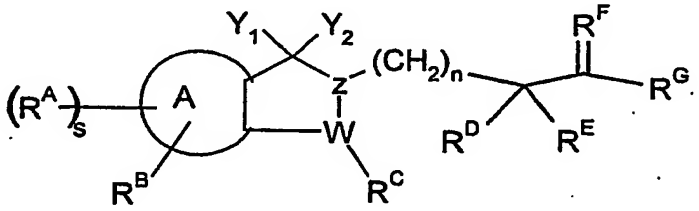
(1-{2-[5-(5-Methyl-isoxazol-3-yl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-piperidin-4-yloxy)-acetic acid ethyl ester;

(1-{2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-piperidin-4-yloxy)-acetic acid ethyl ester;

(1-{2-[5-(*tert*-Butoxycarbonylamino-imino-methyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-piperidin-4-yloxy)-acetic acid ethyl ester; and

(1-{2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-piperidin-4-yloxy)-acetic acid.

8. A process for the preparation of a compound of general formula (I):



(I)

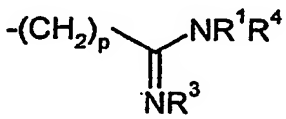
wherein

ring A is phenyl;

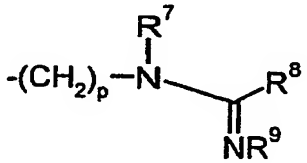
R^A is selected from: -(CH_2)pCN, -C(=NR^1)-SMe and -C(=NR^1)-OMe , or

R^A is selected from one of the following groups of formula (2), formula (3) and formula (4):

(2)



(3)



(4)

wherein p is 0, 1 or 2;

s is 1 or 2, and when s is 2 the groups R^A are independent of each other and can be the same or different;

R^1 and R^2 are independently selected from: H, hydroxy, alkyl, partially or fully fluorinated alkyl, alkoxy, alkenyl, alkynyl, carboxy, $-C(=O)OR^5$, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl and heterocycle; or R^1 and R^2 , together with the nitrogen atom to which they are attached, form a saturated, partially saturated or aromatic heterocycle, optionally containing at least one additional hetero atom selected from: N, O and S;

R^3 and R^4 are independently selected from: H, alkyl, partially or fully fluorinated alkyl, alkenyl, alkynyl, $-C(=O)OR^5$, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, heterocycle , $-OR^5$, $-SR^5$, $-NR^5R^6$, $-S(=O)_2NR^5R^6$, $-S(=O)_2R^5$, $-C(=O)R^5$, $-C(=O)NR^5R^6$, $-C(=O)OR^5$, $-C(=O)SR^5$, $-OC(=O)R^5$, $-OC(=O)OR^5$, $-OC(=O)NR^5R^6$, $-OS(=O)_2R^5$, $-S(C=O)NR^5$ and $-OS(=O)_2NR^5R^6$, or R^3 and R^1 or R^4, together with the respective nitrogen atoms to which they are attached, form an unsubstituted or substituted 5-, 6- or 7- membered partially saturated or aromatic heterocycle, optionally having one or more additional heteroatoms selected from: N, O and S, wherein the substituents are selected from: hydroxy, halogen, alkyl, alkoxy, alkenyl, alkynyl, oxo, carboxy and $-C(=O)OR^5$;

R^5 and R^6 are independently selected from: H, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl and heterocycle, wherein each of said alkyl, alkenyl, alkynyl, cycloalkyl and cycloalkylalkyl group optionally contains at least one hetero atom selected from: N, S and O anywhere in the chain, including the terminal position;

R^7 and R^9 have the same meaning as R^3 and R^4, defined above;

R^8 is selected from: H, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl and heterocycle, wherein said heterocycle is saturated, partially saturated or aromatic and contains at least one hetero atom selected from: N, O and S, with its point of attachment either through C or N, and wherein each of said alkyl, alkenyl, alkynyl, cycloalkyl and cycloalkylalkyl groups optionally contains at least one hetero atom selected from: N, O and S, anywhere in the chain, including the terminal position;

R^B is selected from: H, halogen, -CN, $-NO_2$, alkyl, partially or fully fluorinated alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, heterocycle, $-NR^{10}R^{11}$, $-OR^{10}$, $-SR^{10}$, $S(O)R^{10}$, $S(O)_2R^{10}$, $-NHC(=O)R^{10}$, $-NHOR^{10}$, $-OC(=O)R^{10}$, $-SC(=O)R^{10}$, $-NHC(=O)OR^{10}$, $-OC(=O)OR^{10}$, $-C(=O)NR^{10}R^{11}$, $-C(=O)R^{10}$, and $-C(=O)OR^{10}$;

R^{10} and R^{11} have the same meaning as R^5 and R^6, defined above

Y^1 and Y^2, together, are selected from: =O and =S;

R^{12} and R^{13} are selected from: H, OR^5, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl and aryl;

Z is N;

W is CH_2;

R^C is selected from: H, alkyl, aryl, heterocycle, =O, =NR^{14}, =S, CN, $NR^{14}R^{15}$, OR^{14}, SR^{14}, $S(=O)_2R^{16}$ and COR^{16};

R^{14} and R^{15} have the same meaning as R^5 and R^6, defined above;

R^{16} is selected from: H, OR^{14}, $N(R^{14})_2$, $NR^{14}R^{15}$, SR^{14} and R^5, wherein R^5, R^{14} and R^{15} are as defined above;

n is 0, 1, 2 or 3;

R^D and R^E are independently selected from: H and an unsubstituted or substituted group selected from: alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl and heterocycle, wherein the substituents are selected from: hydroxy, halogen, alkyl, alkenyl, alkynyl, oxo, carboxy, $-C(=O)OR^5$, $-OR^{17}$, $-SR^{17}$, $-NR^{17}R^{18}$, $-NHC(=O)R^{17}$, $-NHC(=O)OR^{17}$, $-OC(=O)R^{17}$, $-SC(=O)R^{17}$, $-OS(=O)_2R^{17}$ and $-NHS(=O)_2R^{17}$;

R^{17} and R^{18} have the same meaning as R^5 and R^6, defined above;

R^F is selected from: O, S and $N(OR^{19})$;

R^{19} and R^{20} have the same meaning as R^5 and R^6, defined above;

R^G is selected from: aryl, heteroaryl, and partially or fully saturated heterocycle, where said aryl, heteroaryl and heterocycle are substituted by one or more groups of the formula (5):

$$T\text{-}(CH_2)_q\text{-}CR^{23}R^{24}\text{-}COR^{25} \qquad (5)$$

and optionally, further substituted by one or more groups selected from: $-R^5$, halogen, -CN, -SCN, -CNO, $-OR^{21}$, $-OC(=O)R^{21}$, $-OS(=O)_2R^{21}$, $-OS(=O)_2NR^{21}R^{22}$, $-OC(=O)OR^{21}$, $-OC(=O)SR^{21}$, $-OC(=O)NR^{21}R^{22}$, $-SR^{21}$, $-S(=O)R^{21}$, -SC(=O)H, $-SC(=O)OR^{21}$, $-NO_2$, $-NR^{21}(OR^{22})$, $-NR^{21}R^{22}$, $-NR^{21}C(=O)R^{22}$, $-N(R^{21})C(=O)OR^{22}$, $-N[S(=O)_2R^{21}]R^{23}$, $C(=O)OR^{21}$, $-S(=O)_2R^{21}$ and $-S(=O)_2OR^{21}$;

R^{21} and R^{22} have the same meaning as R^1 and R^2, defined above:

T is selected from: $-CH_2$, O, S and NH;

q is 0, 1, 2 or 3;

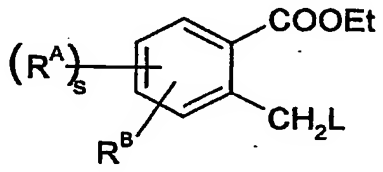
R^{23} and R^{24} are independently selected from: H, alkyl alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, heterocycle and $C(=O)R^{25}$, wherein said alkyl and alkenyl optionally contain at least one hetero atom selected from: O, S and N, in any position of the alkyl or alkenyl chain, and said alkyl and alkenyl are unsubstituted or substituted with at least one group selected from: $-OR^1$, $-OC(=O)R^1$, $-OS(=O)_2R^1$, $-S(=O)_2NR^1R^2$, $-OC(=O)OR^1$, $-OC(=O)SR^1$, $-OC(=O)NR^1R^2$, $-SR^1$, $-S(=O)R^1$, -SC(=O)H, $-SC(=O)OR^1$, $-NR^1(OR^2)$, $-NR^1R^2$, $-NR^1C(=O)R^2$, $-N(R^1)C(=O)OR^2$, $-NR^1S(=O)_2R^2$, $C(=O)OR^1$, $-S(=O)_2R^1$ and $-S(=O)_2OR^1$;

R^{25} is selected from: OR^5, SR^5, $-OCR^3R^4$ and $-NR^5R^6$, wherein R^3, R^4, R^5 and R^6 are as defined above and wherein optionally, R^3 and R^4, together with the carbon to which they are

attached, form an unsubstituted or substituted 5-, 6- or 7- membered saturated, partially saturated or aromatic heterocycle having one or more heteroatoms selected from: N, O and S, wherein the substituents are selected from: hydroxy, halogen, alkyl, alkoxy, alkenyl, alkynyl, oxo, carboxy and -C(=O)OR^5; and the group NR^{5}R^6 is, optionally, a heterocycle containing at least one additional heteroatom selected from: O, S, and N;

which process comprises

(a) reacting compound of formula (II):

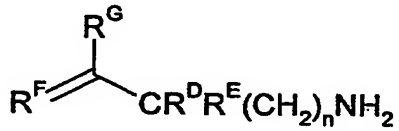


(II)

wherein

L is a leaving group; and all other symbols are as defined above; with

a compound of the formula (III):

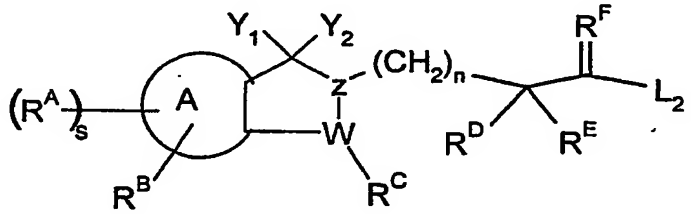


(III)

wherein all symbols are as defined above;

in the presence of an organic or inorganic base in an organic solvent or a mixture of at least two different organic solvents, at a temperature ranging from –40°C to 150°C, for 0.5 to16 h, to effect in situ cyclization to form a compound of the general formula (I) above, and, optionally, converting the compound into a physiologically tolerable salt; or

b) reacting a compound of the formula (IV)

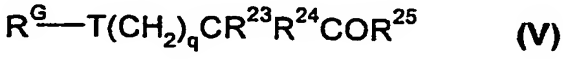


(IV)

wherein

L_2 is a leaving group; and all other symbols are as defined above;

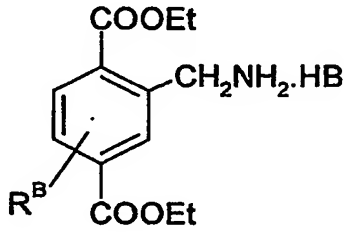
with a compound of the formula (V):



(V)

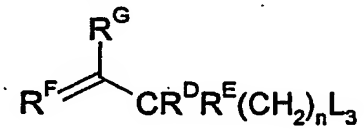
where R^G is selected from: piperidinyl, piperazinyl and phenyl, wherein said piperidinyl, piperazinyl and phenyl, are optionally substituted with 1, 2, 3 or 4 hydroxyl groups, and all other symbols are as defined above, in the presence of an organic or inorganic base in an organic solvent or water at a temperature ranging from 0°C to 150°C, for 0.5 to 12 h, to form a compound of the general formula (I), and, optionally, converting one or more of the hydroxyl groups into a group selected from the substituents for R^G as defined in general formula (I) and, optionally, converting the compound into a physiologically tolerable salt; alternatively, activating a compound of the formula (IV) above, wherein L_2 is -OH, by treatment with a mixed anhydride to form a peptide coupling with a compound of the formula (V), wherein R^G is piperidinyl or piperazinyl, and thereby provide a compound of the general formula (I), wherein R^G is piperidinyl or piperazinyl substituted with at least a group of the formula (5); and, optionally, converting the resultant compound into a physiologically tolerable salt; or

c) alkylating a compound of the formula (VIII):



(VIII)

wherein B is halogen, acetate or formate, and all other symbols are as defined above;
with a compound of the formula:



(VII)

wherein

R^G is phenyl, having at least one substituent which is OCH_2Phenyl, and optionally at least one further substituent selected from: $-R^5$, halogen, -CN, -SCN, -CNO, $-OR^{21}$, $-OC(=O)R^{21}$, $-OS(=O)_2R^{21}$, $-OS(=O)_2NR^{21}R^{22}$, $-OC(=O)OR^{21}$, $-OC(=O)SR^{21}$, $-OC(=O)\ NR^{21}R^{22}$, $-SR^{21}$, $-S(=O)R^{21}$, -SC(=O)H, $-SC(=O)OR^{21}$, $-NO_2$, $-NR^{21}OH$, $-NR^{21}(OR^{22})$, $-NR^{21}R^{22}$, $-NR^{21}C(=O)R^{22}$, $-N(R^{21})C(=O)OR^{22}$, $-N[S(=O)_2R^{21}]\ R^{23}$, $C(=O)OR^{21}$, $-S(=O)_2R^{21}$ and $-S(=O)_2OR^{21}$; and

L_3 is a leaving group; and all other symbols are as defined above;

in the presence of an organic or inorganic base in an organic solvent or a mixture of at least two different organic solvents, at a temperature ranging from –40°C to 150°C, for 0.5 to 16 h, to effect in situ cyclization to form the compound of general formula (I), wherein R^G is phenyl having atleast one substitutent which is $-OCH_2$Phenyl, R^A is –COOEt and s is 2; converting the $-OCH_2$Phenyl into hydroxyl and subsequently coupling the hydroxyl with the group L_4-$(CH_2)_q$-$CR^{23}R^{24}COR^{25}$, where L_4 is a leaving group;
optionally converting one or both of the –COOEt groups into the cyano group $-(CH_2)$pCN, wherein p is as defined; optionally, subsequently converting at least one of the cyano groups into a group of the formula (3), as defined; and, optionally, converting the resultant compound into a physiologically tolerable salt.

9. A pharmaceutical composition, comprising a compound of formula (I) according to any one of the preceding claims 1 to 7, or a pharmaceutically acceptable salt thereof, and a pharmaceutically acceptable carrier.

10. A pharmaceutical composition for inhibiting the binding of fibrinogen to blood platelets, comprising a compound of formula (I) according to any one of the preceding claims 1 to 7, or a pharmaceutically acceptable salt thereof, and a pharmaceutically acceptable carrier.

11. A pharmaceutical composition for inhibiting the binding of fibrinogen to blood platelets, comprising a compound of formula (I) according to any one of the preceding claims 1 to 7, or a pharmaceutically acceptable salt thereof, in combination with an antithrombotic agent and a pharmaceutically acceptable carrier.

12. The use of a compound according to any one of the preceding claims 1 to 7, or a pharmaceutically acceptable salt thereof, for the manufacture of a medicament for the inhibition of the binding of fibrinogen to blood platelets.

13. The use of a compound according to any one of the preceding claims 1 to 7, or a pharmaceutically acceptable salt thereof, for the manufacture of a medicament for the prevention or treatment of cardiovascular and cerebrovascular thromboembolic diseases.

14. The use according to claim 13 wherein the cardiovascular and cerebrovascular thromboembolic diseases include: arterial thromboembolism, cerebral thromboembolism,

cerebral arterial thrombosis, coronary thrombosis, deep vein thrombosis, diabetes-related thromboembolic disorders, sudden ischemic emergencies, myocardial infarction, pulmonary thromboembolisms, stroke, thrombophlebitis, transient ischemic attack, unstable angina and venous thrombosis or kidney thromboembolism.

15. The use of a compound according to any one of the preceding claims 1 to 7, or a pharmaceutically acceptable salt thereof, for the manufacture of a medicament for the inhibition of blood platelet aggregation.

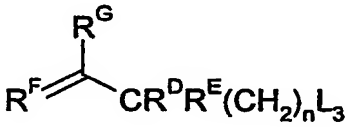
16. The use according to claim 15, wherein blood platelet aggregation includes platelet thrombosis, thromboembolism and reocclusion during and after thrombolytic therapy and platelet thrombosis, thromboembolism and reocclusion after angioplasty or coronary artery bypass surgery, and blood clots after orthopedic surgery.

17. The use of a compound according to any one of the preceding claims 1 to 7, or a pharmaceutically acceptable salt thereof, for the manufacture of a medicament for the prevention and treatment of diseases involving a cell adhesion process.

18. The use according to claim 17, wherein diseases involving a cell adhesion process include: adult respiratory distress syndrome, allergies, asthma, rupture of atherosclerotic plaques, autoimmune diseases, inflammation, bone degradation, contact dermatitis, diabetic retinopathy, eczema, graft versus host disease, inflammatory bowel disease, metastasis, organ transplantation rejection, osteoarthritis, osteoporosis, psoriasis, rheumatoid arthritis, septic shock and tumors.

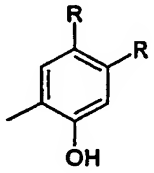
19. A process according to claim 8, wherein

the compound of the formula (VII),



(VII)

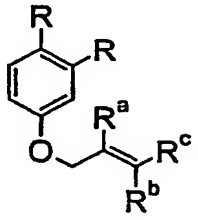
wherein R^G is the substituted phenyl group below:



wherein R is a group of the formula (5); R^F is O; R^D, R^E, n and L_3 are as defined;

is prepared by

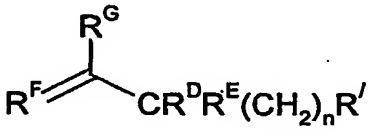
reacting the O-allylic compound H-60



H-60

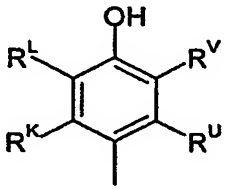
wherein R^a, R^b and R^c are independently selected from: alkyl and alkylaryl, and R has the meaning defined above, with the compound $L_3(CH_2)_nCR^DR^ECOCl$, wherein L_3 is a leaving group, R^D , R^E and n are as defined , in the presence of a catalyst and an organic solvent or mixture of at least two organic solvents at a temperature ranging from room temperature to 120°C, for a period of 2 to 12 h and, optionally, isolating the compound of formula (VII) from the reaction mixture.

20. A process according to claim 8, wherein a compound of the formula (III)′:



(III)′

where R^G is the group

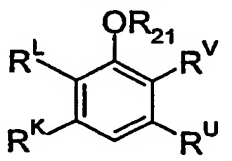


wherein R^K, R^L, R^V and R^U, are independently selected from: H, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, halogen, -CN, -SCN, -CNO, $-OR^{21}$, $-OC(=O)R^{21}$, $-OS(=O)_2R^{21}$, $-OS(=O)_2NR^{21}R^{22}$, $-OC(=O)OR^{21}$, $-OC(=O)SR^{21}$, $-OC(=O)\ NR^{21}R^{22}$, $-SR^{21}$, $-S(=O)R^{21}$, -SC(=O)H, $-SC(=O)OR^{21}$, $-NO_2$, $-NR^{21}(OR^{22})$, $-NR^{21}R^{22}$, $-NR^{21}C(=O)R^{22}$, $-N(R^{21})C(=O)OR^{22}$, $-N[S(=O)_2R^{21}]R^{23}$, $C(=O)OR^{21}$, $-S(=O)_2R^{21}$, $-S(=O)_2OR^{21}$ and a group of formula (5);

R' is a protected amino group; R^F is O; and R^D, R^E and n are as defined;

with the proviso that at least one of the groups R^K, R^L, R^V and R^U is a group of the formula (5) and at least one of the remaining R^K, R^L, R^V and R^U is OH;

is prepared by reacting a mono- or polyhydroxy phenol of the formula (IX):



IX

wherein R^{21} is selected from H, alkyl or aralkyl; and

R^K, R^L, R^V and R^U have the meaning defined above;

with a compound of formula (X):

$$R'(CH_2)_nCR^DR^ECN \qquad (X)$$

wherein

R^D, R^E and n are as defined above,

R' is a protected amino group;

in the presence of an inorganic acid and a catalyst at a temperature in the range of 0°C to 60°C, for a period of 2 to12 h, in an organic solvent or a mixture of at least two organic solvents, and optionally, isolating the compound of formula (III)' from the reaction mixture.